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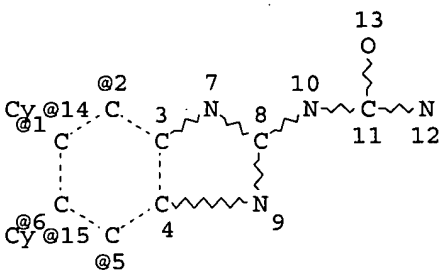

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GRAPH ATTRIBUTES:
RSPEC 6
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

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FULL SCREEN SEARCH COMPLETED - 2446 TO ITERATE

100.0% PROCESSED 2446 ITERATIONS 392 ANSWERS
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	173.00	173.21

FILE 'CAPLUS' ENTERED AT 09:54:20 ON 24 JAN 2007
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FILE COVERS 1907 - 24 Jan 2007 VOL 146 ISS 5
FILE LAST UPDATED: 23 Jan 2007 (20070123/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 6 L3

=> d bib abs 1-6

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:397791 CAPLUS
DN 145:79593
TI In vitro characterization of the antibacterial spectrum of novel bacterial type II topoisomerase inhibitors of the aminobenzimidazole class
AU Mani, Nagraj; Gross, Christian H.; Parsons, Jonathan D.; Hanzelka, Brian; Muh, Ute; Mullin, Steve; Liao, Yusheng; Grillot, Anne-Laure; Stamos, Dean; Charifson, Paul S.; Grossman, Trudy H.
CS Vertex Pharmaceuticals Incorporated, Cambridge, MA, 02139, USA
SO Antimicrobial Agents and Chemotherapy (2006), 50(4), 1228-1237
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
AB Antibiotics with novel mechanisms of action are becoming increasingly important in the battle against bacterial resistance to all currently used classes of antibiotics. Bacterial DNA gyrase and topoisomerase IV (topoIV) are the familiar targets of fluoroquinolone and coumarin antibiotics. Here the authors present the characterization of 2 members of a new class of synthetic bacterial topoII ATPase inhibitors: VRT-125853 and VRT-752586. These aminobenzimidazole compds. were potent inhibitors of both DNA gyrase and topoIV and had excellent antibacterial activities against a wide spectrum of problematic pathogens responsible for both nosocomial and community-acquired infections, including staphylococci, streptococci, enterococci, and mycobacteria. Consistent with the novelty of their structures and mechanisms of action, antibacterial potency was unaffected by commonly encountered resistance phenotypes, including fluoroquinolone resistance. In time-kill assays VRT-125853 and VRT-752586 were bactericidal against Staphylococcus aureus, Streptococcus pneumoniae, Enterococcus faecalis, and Haemophilus influenzae, causing 3-log redns. in viable cells within 24 h. Finally, similar to the fluoroquinolones, relatively low frequencies of spontaneous resistance to VRT-125853 and VRT-752586 were found, a property consistent with their in vitro dual-targeting activities.
RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:104220 CAPLUS
DN 144:192243
TI Preparation of annulated pyrazoles as gyrase inhibitors and uses thereof
IN Charifson, Paul; Deininger, David; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven; Stamos, Dean P.; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 219 pp., Cont.-in-part of U.S. Ser. No. 901,928.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006025424	A1	20060202	US 2004-971573	20041021
	US 2006122196	A9	20060608		
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2005256136	A1	20051117	US 2004-986569	20041111

PRAI US 2003-443917P P 20030131
US 2003-737638 A1 20031215
US 2004-901928 A2 20040729
US 2004-767638 A2 20040129
WO 2004-US2541 A 20040129
US 2004-971573 A2 20041021
WO 2004-US34919 A2 20041021
OS MARPAT 144:192243
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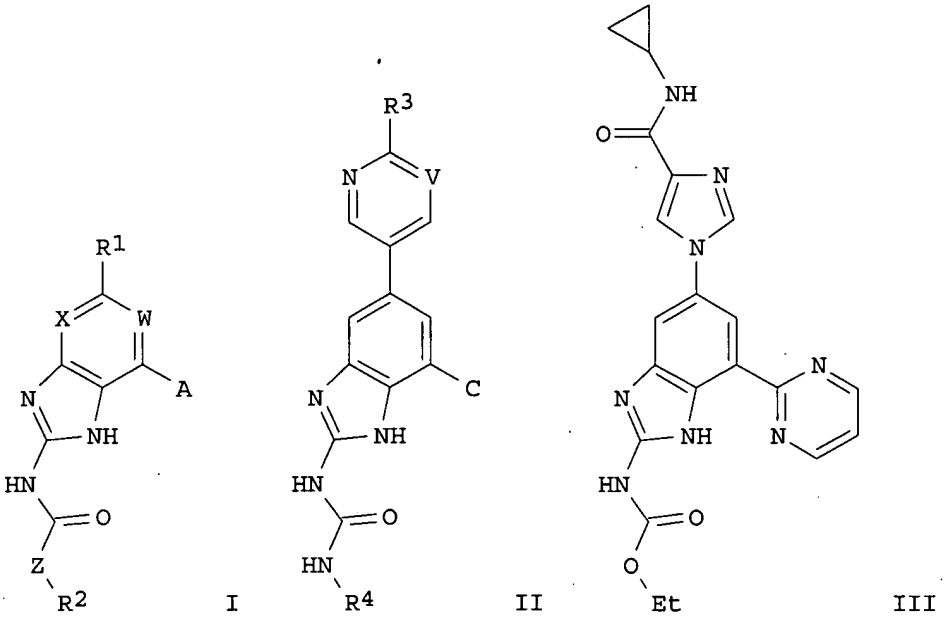

I II III

AB Title compds. I [R1 = (un)substituted Ph or heteroaryl; W = N, CH, or CF; Z = O or NH; R2 = H or alkyl; ring A = (un)substituted 5-6 membered heteroaryl], in particular II [V = N, CH, or CF; R3 = H, (un)substituted alkyl; R4 = alkyl; ring C = (un)substituted 5-6 membered heteroaryl] are prepared and disclosed as gyrase and/or Topo IV inhibitors. Thus, e.g., III was prepared by cyclocondensation of 1-(3-amino-4-nitro-5-pyrimidin-2-ylphenyl)-1H-imidazole-4-carboxylic acid cyclopropylamide (preparation given) with N,N-diethylcarboxy-2-methyl-2-thiopseudourea (preparation given). In gyrase and in Topo IV inhibition assays, selected compds. of the invention possessed Ki values of less than 50 nM. The present invention relates to methods of treating, preventing, or lessening the severity of bacterial infections in patient. The present invention also relates to methods of using I in combination with one or more addnl. antibacterial agents and/or one or more addnl. therapeutic agents that increase the susceptibility of bacterial organisms to antibiotics.

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1224305 CAPLUS
DN 143:477961
TI Preparation of annulated pyrazoles as gyrase inhibitors and uses thereof
IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 212 pp., Cont.-in-part of U.S. Ser. No. 971,573.
CODEN: USXXCO

DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005256136	A1	20051117	US 2004-986569	20041111
	US 2004235886	A1	20041125	US 2004-767638	20040129
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2006025424	A1	20060202	US 2004-971573	20041021
	US 2006122196	A9	20060608		
	WO 2006022773	A1	20060302	WO 2004-US34919	20041021

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI	US 2003-443917P	P	20030131	
	US 2004-767638	A2	20040129	
	US 2004-901928	A2	20040729	
	US 2004-971573	A2	20041021	
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	US 2003-737638	A1	20031215	
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OS MARPAT 143:477961
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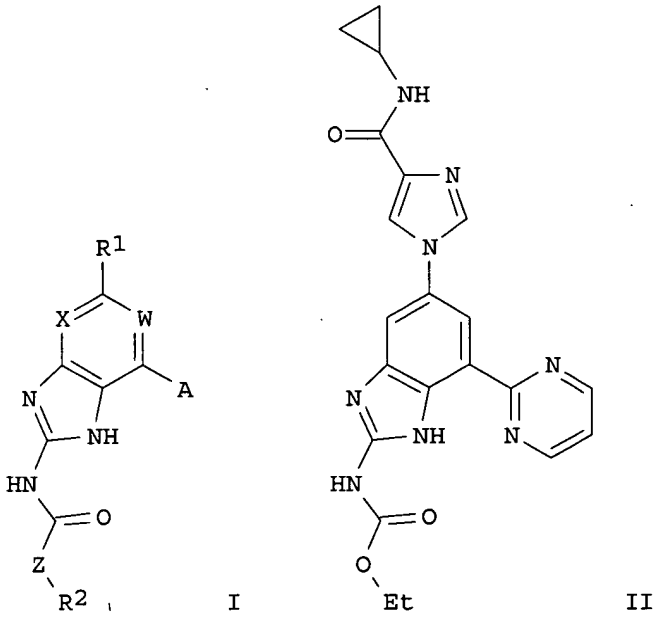

AB Title compds. I [R1 = (un)substituted Ph or heteroaryl; W = N, CH, or CF; X = CH or CF; Z = O or NH; R2 = H or alkyl; Ring A = (un)substituted 5-6 membered heteroaryl] are prepared and disclosed as gyrase inhibitors. Thus, e.g., II was prepared by cyclocondensation of 1-(3-amino-4-nitro-5-pyrimidin-

2-ylphenyl)-1H-imidazole-4-carboxylic acid cyclopropylamide (preparation given) with N,N-diethylcarboxy-2-methyl-2-thiopseudourea (preparation given). In gyrase inhibition assays, selected compds. of the invention possessed Ki values of less than 50 nM. The present invention relates to methods of treating, preventing, or lessening the severity of resistant bacterial infections in mammals. The present invention also relates to methods of using I in combination with one or more addnl. antibacterial agents and/or one or more addnl. therapeutic agents that increase the susceptibility of bacterial organisms to antibiotics.

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:140866 CAPLUS
DN 142:219288
TI Gyrase inhibitors and uses thereof
IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 202 pp., Cont.-in-part of U.S. Ser. No. 767,638.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005038247	A1	20050217	US 2004-901928	20040729
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	AU 2004261545	A1	20050210	AU 2004-261545	20040129
	CA 2513463	A1	20050210	CA 2004-2513463	20040129
	WO 2005012292	A1	20050210	WO 2004-US2541	20040129
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	US 2006025424	A1	20060202	US 2004-971573	20041021
	US 2006122196	A9	20060608		
	WO 2006022773	A1	20060302	WO 2004-US34919	20041021
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PRAI	US 2003-443917P	P	20030131		
	US 2004-767638	A2	20040129		
	WO 2004-US2541	A	20040129		
	US 2003-737638	A1	20031215		

	US 2004-901928	A2	20040729
	US 2004-971573	A2	20041021
	WO 2004-US34919	A2	20041021

OS CASREACT 142:219288; MARPAT 142:219288

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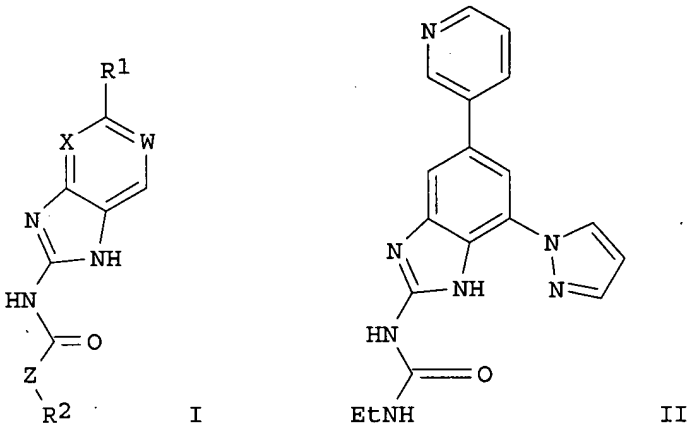

I II

AB The present invention relates to the preparation of compds.of formula I (W = N, CH, CF; X = CH, CF; Z = O, NH; R1 = Ph, or heteroaryl ring; R2 = H, or C1-3 aliphatic; A = 5-6 membered heteroaryl ring) that inhibit bacterial gyrase and/or Topo IV. Thus, 4-bromo-2,6-difluoroaniline was treated with sodium perborate tetrahydrate in acetic acid to give 5-bromo-1,3-difluoro-2-nitro-benzene which was treated with NaH, and pyrazole to yield 1-(5-bromo-3-fluoro-2-nitro-phenyl)-1H-pyrazole. This pyrazole was reduced using ammonia, and coupled with 3-pyridyl-diethyl borane, followed by reduction using 10% palladium on carbon to give the desired II. These compds., and compns. thereof, are useful in treating bacterial infection.

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1019781 CAPLUS
DN 142:6535
TI Preparation of benzimidazolyl ureas and related compounds as gyrase inhibitors for treating bacterial infections
IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 148 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004235886	A1	20041125	US 2004-767638	20040129
	CN 1745077	A	20060308	CN 2004-80003086	20040129
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2005256136	A1	20051117	US 2004-986569	20041111
PRAI	US 2003-443917P	P	20030131		
	US 2004-767638	A2	20040129		
	WO 2004-US2541	A	20040129		
	US 2004-901928	A2	20040729		
	US 2004-971573	A2	20041021		
	WO 2004-US34919	A2	20041021		

OS MARPAT 142:6535
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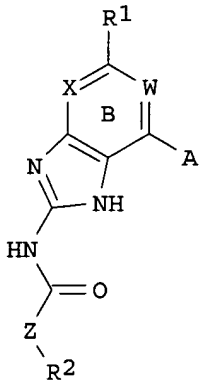

I

AB The present invention relates to compds. I [W = N, CH, CF; X = CH, CF; Z = O, NH; R1 = (un)substituted Ph, 5-6 membered heteroaryl having 1-3 heteroatoms selected from O, N or S; R2 = H, alkyl; ring A = (un)substituted 5-6 membered heteroaryl having 1-4 heteroatoms selected from N, O or S] which inhibit bacterial gyrase and/or Topo IV and pharmaceutically acceptable compns. comprising said compds. E.g., a multi-step synthesis of 1-ethyl-3-[7-(pyridin-2-yl)-5-(pyridin-3-yl)-1H-benzimidazol-2-yl]urea, was given. The compds. I were found to inhibit gyrase and TopoIV with a Ki values of < 50 nM. The compds. I, and compns. thereof, are useful in treating bacterial infection. Accordingly, the present invention also relates to methods for treating bacterial infections in mammals.

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:594826 CAPLUS
DN 137:140526
TI Preparation of benzimidazoles as gyrase inhibitors
IN Grillot, Anne-Laure; Charifson, Paul; Stamos, Dean; Liao, Yusheng; Badia, Michael; Trudeau, Martin
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060879	A2	20020808	WO 2001-US48855	20011212
	WO 2002060879	A3	20030327		
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	US 2003119868	A1	20030626	US 2001-15332	20011212

	US 6632809	B2	20031014		
	EP 1341769	A2	20030910	EP 2001-994269	20011212
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	ZA 2003003933	A	20040521	ZA 2003-3933	20011212
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	BR 2001016216	A	20040817	BR 2001-16216	20011212
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	RU 2262932	C2	20051027	RU 2003-121398	20011212
	IN 2003KN00636	A	20050121	IN 2003-KN636	20030519
	US 2004043989	A1	20040304	US 2003-444588	20030523
	NO 2003002668	A	20030612	NO 2003-2668	20030612
	HK 1061851	A1	20061117	HK 2004-104843	20040706
	AU 2006201397	A1	20060427	AU 2006-201397	20060404
PRAI	US 2000-256094P	P	20001215		
	US 2001-275292P	P	20010313		
	AU 2002-246684	A3	20011212		
	EP 2001-994269	A3	20011212		
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OS MARPAT 137:140526

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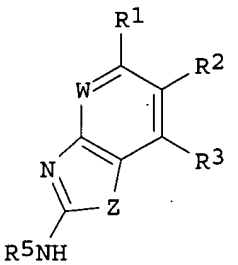


I

AB The title compds. [I; Z = O, NR4; W = N, CRa; Ra = H, halo, CF3, etc.; R1 = (un)substituted (hetero)aryl; R2, R3 = halo, CN, SR6, OR6, etc.; R4 = R6, CONR6, COR6, etc.; R5 = R7, Ar, COAr, etc.; Ar = (un)substituted 5-membered heteroaryl, heterocyclyl, carbocyclyl; R6 = aryl, aralkyl, heteroaryl, etc.; R7 = H, alkyl], useful as inhibitors of bacterial gyrase activity for treating bacterial infections in mammals, were prepared Thus, treating biphenyl-3,4-diamine with cyanogen bromide in THF/MeOH/H2O followed by reacting the resulting 5-phenyl-1H-benzoimidazol-2-ylamine with Et isocyanate in THF afforded I [Z = NH; W = CH; R1, R3 = H; R2 = Ph; R5 = CONHEt] which showed > 75% the gyrase ATPase inhibition at 10 μM. The present invention also relates to methods for decreasing bacterial quantity in a biol. sample.

=> d hitstr 6

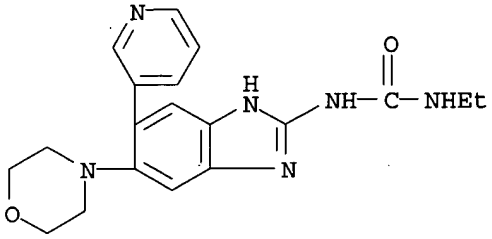
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

IT 445012-34-0P 445012-48-6P 445012-50-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as gyrase inhibitors)

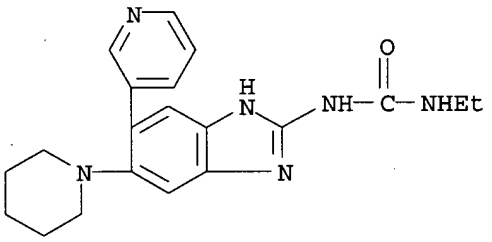
RN 445012-34-0 CAPLUS

CN Urea, N-ethyl-N'-[5-(4-morpholinyl)-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 445012-48-6 CAPLUS

CN Urea, N-ethyl-N'-[5-(1-piperidinyl)-6-(3-pyridinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 445012-50-0 CAPLUS

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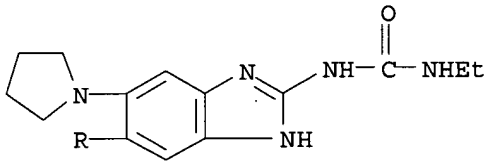

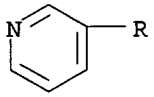

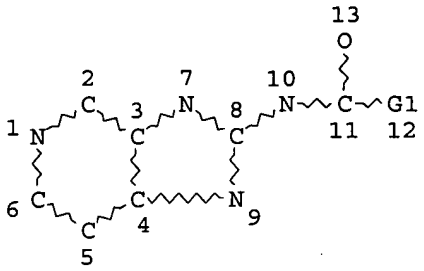

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VAR G1=O/S
ENTER (DIS), GRA, NOD, BON OR ?:end
L11  STRUCTURE CREATED

=> s l11
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SAMPLE SCREEN SEARCH COMPLETED -        4 TO ITERATE

100.0% PROCESSED         4 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             4 TO      200
PROJECTED ANSWERS:                0 TO        0

L12           0 SEA SSS SAM L11

=> s l11 ful
FULL SEARCH INITIATED 10:00:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      111 TO ITERATE

100.0% PROCESSED       111 ITERATIONS                                 2 ANSWERS
SEARCH TIME: 00.00.01

L13           2 SEA SSS FUL L11

=> d 1-2

L13  ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   86720-83-4  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   Carbamic acid, [5-[(4-fluorophenyl)methyl]-5H-imidazo[4,5-c]pyridin-2-
     yl]methyl-, methyl ester (9CI)  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   5H-Imidazo[4,5-c]pyridine, carbamic acid deriv.
MF   C16 H15 F N4 O2
LC   STN Files:   CA, CAPLUS, USPATFULL
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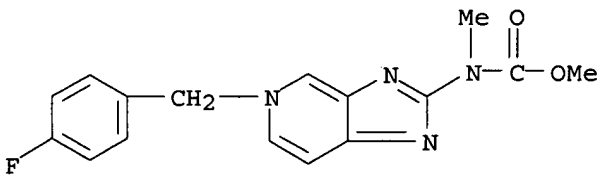

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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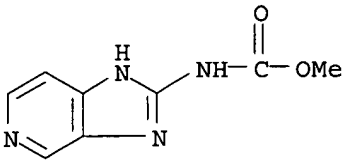
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L13 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN
RN 74127-00-7 REGISTRY
ED Entered STN: 16 Nov 1984
CN Carbamic acid, 1H-imidazo[4,5-c]pyridin-2-yl-, methyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Imidazo[4,5-c]pyridine, carbamic acid deriv.
MF C8 H8 N4 O2
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	522.45	716.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.68

FILE 'CAPLUS' ENTERED AT 10:00:12 ON 24 JAN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 24 Jan 2007 VOL 146 ISS 5
FILE LAST UPDATED: 23 Jan 2007 (20070123/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l12
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=> s l13
L15          2 L13

=> d bib abs hitstr 1-2

L15  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   1983:594812  CAPLUS
DN   99:194812
TI   N-(3-Hydroxy-4-piperidinyl)benzamide derivatives
IN   Van Daele, Georges
PA   Janssen Pharmaceutica N. V., Belg.
SO   Eur. Pat. Appl., 137 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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PI   EP 76530             A2     19830413    EP 1982-201080          19820903
     EP 76530             A3     19830803
     EP 76530             B1     19851211
        R:  AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
     CA 1183847           A1     19850312    CA 1982-409480          19820816
     AT 16928             T      19851215    AT 1982-201080          19820903
     SU 1593569           A3     19900915    SU 1982-3489954         19820910
     RO 84704             A1     19840717    RO 1982-108663          19820921
     CZ 280009            B6     19950913    CZ 1982-6821            19820923
     SK 278380            B6     19970205    SK 1982-6821            19820923
     DD 203048            A5     19831012    DD 1982-243524          19820927
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     DK 165365            B      19921116
     DK 165365            C      19930405
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     NO 159378            C      19881221
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     AU 553845            B2     19860731
     HU 27373             A2     19831028    HU 1982-3147            19820930
     HU 189629            B      19860728
     ES 516131            A1     19831101    ES 1982-516131          19820930
     ZA 8207194           A      19840530    ZA 1982-7194            19820930
     IL 66916             A      19850929    IL 1982-66916           19820930
     JP 58090552          A      19830530    JP 1982-171112          19821001
     JP 02045625          B      19901011
     PL 138053            B1     19860830    PL 1982-238469          19821001
     PL 138475            B1     19860930    PL 1982-245223          19821001
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     US 4962115           A      19901009    US 1989-443060          19891128
     US 5057525           A      19911015    US 1990-535939          19900611
     US 5137896           A      19920811    US 1991-748227          19910820
PRAI US 1981-307409       A      19811001
     US 1982-403603       A      19820730
     EP 1982-201080       A      19820903
     US 1984-631526       B1     19840718
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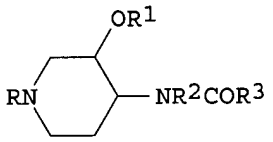


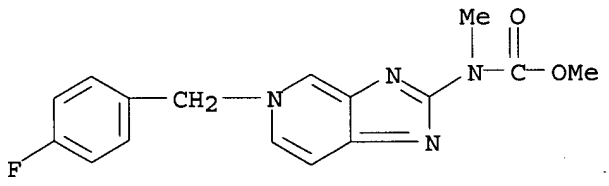
I

AB Piperidinylbenzamides I [R = alkoxycarbonyl, (un)substituted alkyl, cycloalkyl, aralkyl, etc.; R1 = H, alkyl, aralkyl, aminoalkyl, alkylcarbonyl; R2 = H, alkyl; R3 = (un)substituted Ph] (244 compds.) were prepared Thus, cis-I [R = R2 = H, R1 = Me, R3 = 5,4,2-Cl(H2N)(MeO)C6H2] was treated with 4-FC6H4O(CH2)3Cl to give 42.8% cis-I [R = 4-FC6H4O(CH2)3, R1 = Me, R2 = H, R3 = 5,4,2-Cl(H2N)(MeO)C6H2] (II). II had a min. effective concentration of 0.00016 mg/L for stimulation of contraction of isolated guinea pig ileum.

IT 86720-83-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of imidazopyridine derivative)

RN 86720-83-4 CAPLUS

CN Carbamic acid, [5-[(4-fluorophenyl)methyl]-5H-imidazo[4,5-c]pyridin-2-yl]methyl-, methyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1980:439290 CAPLUS

DN 93:39290

TI The antifungal activity of alkyl benzimidazol-2-ylcarbamates and related compounds

AU Eckert, Joseph W.; Rahm, Michael L.

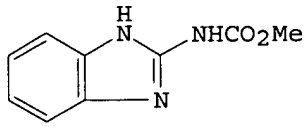
CS Dep. Plant Pathol., Univ. California, Riverside, CA, USA

SO Pesticide Science (1979), 10(6), 473-7
CODEN: PSSCBG; ISSN: 0031-613X

DT Journal

LA English

GI



I

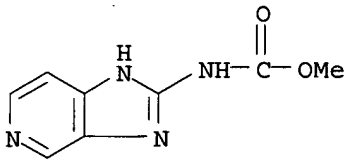
AB The fungistatic activity against Penicillium digitatum and Diplodia natalensis decreased slightly in ascending a homologous series of alkyl esters of benzimidazol-2-yl-carbamic acid from the Me ester [carbendazim (I) [10605-21-7]] to the pentyl ester. The hexyl and octyl esters were inactive. 2-(Acylamido)benzimidazoles were slightly less active than the analogous alkyl benzimidazol-2-ylcarbamates. Introduction of a methylene bridge between the benzimidazole ring and the 2-methoxycarbonylamino group abolished antifungal activity. Methylation of either the carbamate N or an imidazole N of I produced inactive compds. Replacement of the

benzimidazole ring of I with various other ring systems was accompanied by a reduction in antifungal activity.

IT 74127-00-7
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(fungicidal activity of, structure in relation to)

RN 74127-00-7 CAPLUS

CN Carbamic acid, 1H-imidazo[4,5-c]pyridin-2-yl-, methyl ester (9CI) (CA INDEX NAME)



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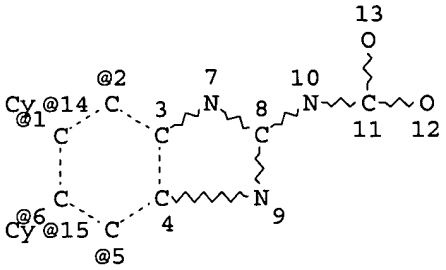


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VPA 15-2/1/6/5 U
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   6
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE


=> s l16
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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
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PROJECTED ANSWERS:                9 TO      359

L17            9 SEA SSS SAM L16

=> s l16 ful
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100.0% PROCESSED     5482 ITERATIONS                              173 ANSWERS
SEARCH TIME: 00.00.01

L18          173 SEA SSS FUL L16

=> fil caplus
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FULL ESTIMATED COST                                 172.10     900.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   0.00      -6.24

FILE 'CAPLUS' ENTERED AT 10:03:13 ON 24 JAN 2007
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FILE COVERS 1907 - 24 Jan 2007 VOL 146 ISS 5
FILE LAST UPDATED: 23 Jan 2007 (20070123/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l18
L19 4 L18

=> d bib abs 1-4

L19 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:104220 CAPLUS
DN 144:192243
TI Preparation of annulated pyrazoles as gyrase inhibitors and uses thereof
IN Charifson, Paul; Deininger, David; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven; Stamos, Dean P.; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 219 pp., Cont.-in-part of U.S. Ser. No. 901,928.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006025424	A1	20060202	US 2004-971573	20041021
	US 2006122196	A9	20060608		
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2005256136	A1	20051117	US 2004-986569	20041111
PRAI	US 2003-443917P	P	20030131		
	US 2003-737638	A1	20031215		
	US 2004-901928	A2	20040729		
	US 2004-767638	A2	20040129		
	WO 2004-US2541	A	20040129		
	US 2004-971573	A2	20041021		
	WO 2004-US34919	A2	20041021		

OS MARPAT 144:192243
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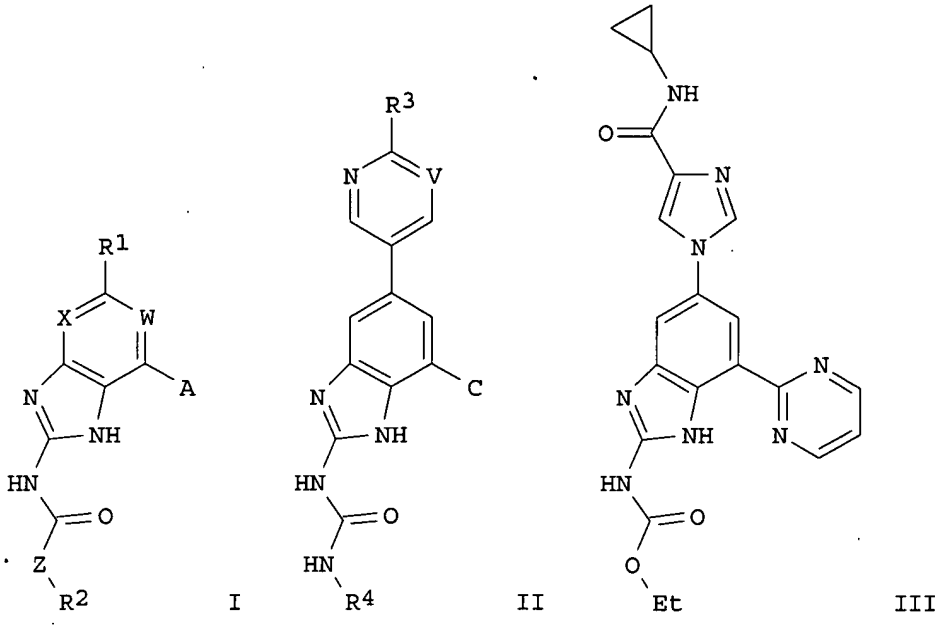

I II III

AB Title compds. I [R1 = (un)substituted Ph or heteroaryl; W = N, CH, or CF; Z = O or NH; R2 = H or alkyl; ring A = (un)substituted 5-6 membered heteroaryl], in particular II [V = N, CH, or CF; R3 = H, (un)substituted alkyl; R4 = alkyl; ring C = (un)substituted 5-6 membered heteroaryl] are prepared and disclosed as gyrase and/or Topo IV inhibitors. Thus, e.g., III was prepared by cyclocondensation of 1-(3-amino-4-nitro-5-pyrimidin-2-ylphenyl)-1H-imidazole-4-carboxylic acid cyclopropylamide (preparation given) with N,N-diethylcarboxy-2-methyl-2-thiopseudourea (preparation given). In gyrase and in Topo IV inhibition assays, selected compds. of the invention possessed Ki values of less than 50 nM. The present invention relates to methods of treating, preventing, or lessening the severity of bacterial infections in patient. The present invention also relates to methods of using I in combination with one or more addnl. antibacterial agents and/or one or more addnl. therapeutic agents that increase the susceptibility of bacterial organisms to antibiotics.

L19 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1224305 CAPLUS
DN 143:477961
TI Preparation of annulated pyrazoles as gyrase inhibitors and uses thereof
IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 212 pp., Cont.-in-part of U.S. Ser. No. 971,573.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005256136	A1	20051117	US 2004-986569	20041111
	US 2004235886	A1	20041125	US 2004-767638	20040129
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2006025424	A1	20060202	US 2004-971573	20041021
	US 2006122196	A9	20060608		
	WO 2006022773	A1	20060302	WO 2004-US34919	20041021

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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RU, TJ, TM

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US 2004-971573 A2 20041021
WO 2004-US34919 A2 20041021
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WO 2004-US2541 A 20040129

OS MARPAT 143:477961

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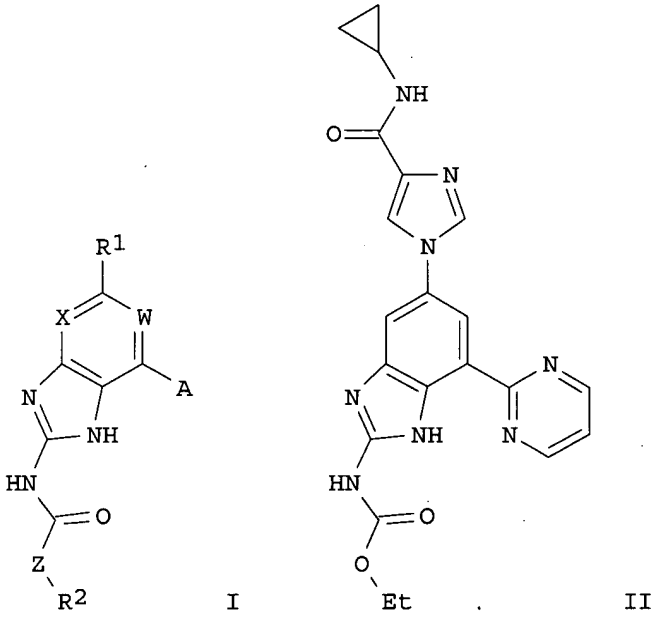

I II

AB Title compds. I [R1 = (un)substituted Ph or heteroaryl; W = N, CH, or CF; X = CH or CF; Z = O or NH; R2 = H or alkyl; Ring A = (un)substituted 5-6 membered heteroaryl] are prepared and disclosed as gyrase inhibitors. Thus, e.g., II was prepared by cyclocondensation of 1-(3-amino-4-nitro-5-pyrimidin-2-ylphenyl)-1H-imidazole-4-carboxylic acid cyclopropylamide (preparation given) with N,N-diethylcarboxy-2-methyl-2-thiopseudourea (preparation given). In gyrase inhibition assays, selected compds. of the invention possessed Ki values of less than 50 nM. The present invention relates to methods of treating, preventing, or lessening the severity of resistant bacterial infections in mammals. The present invention also relates to methods of using I in combination with one or more addnl. antibacterial agents and/or one or more addnl. therapeutic agents that increase the susceptibility of bacterial organisms to antibiotics.

L19 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:140866 CAPLUS
DN 142:219288

TI Gyrase inhibitors and uses thereof

IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph

PA USA

SO U.S. Pat. Appl. Publ., 202 pp., Cont.-in-part of U.S. Ser. No. 767,638. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

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	WO 2006022773	A1	20060302	WO 2004-US34919	20041021
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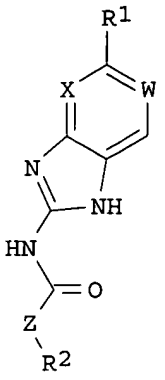
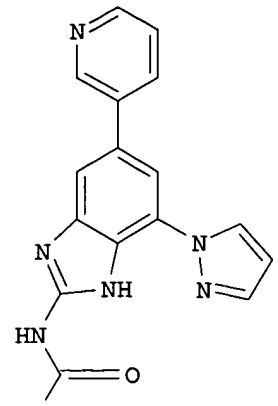
	US 2004-901928	A2	20040729		
	US 2004-971573	A2	20041021		
	WO 2004-US34919	A2	20041021		

OS CASREACT 142:219288; MARPAT 142:219288

GI



I



II

AB The present invention relates to the preparation of compds.of formula I (W = N, CH, CF; X = CH, CF; Z = O, NH; R1 = Ph, or heteroaryl ring; R2 = H, or C1-3 aliphatic; A = 5-6 membered heteroaryl ring) that inhibit bacterial gyrase and/or Topo IV. Thus, 4-bromo-2,6-difluoroaniline was treated with sodium perborate tetrahydrate in acetic acid to give 5-bromo-1,3-difluoro-2-nitro-benzene which was treated with NaH, and pyrazole to yield 1-(5-bromo-3-fluoro-2-nitro-phenyl)-1H-pyrazole. This pyrazole was reduced using ammonia, and coupled with 3-pyridyl-diethyl borane, followed by reduction using 10% palladium on carbon to give the desired II. These compds., and compns. thereof, are useful in treating bacterial infection.

L19 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1019781 CAPLUS
DN 142:6535
TI Preparation of benzimidazolyl ureas and related compounds as gyrase inhibitors for treating bacterial infections
IN Charifson, Paul S.; Deininger, David D.; Grillot, Anne-Laure; Liao, Yusheng; Ronkin, Steven M.; Stamos, Dean; Perola, Emanuele; Wang, Tiansheng; Letiran, Arnaud; Drumm, Joseph
PA USA
SO U.S. Pat. Appl. Publ., 148 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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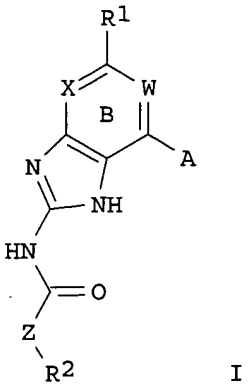
	CN 1745077	A	20060308	CN 2004-80003086	20040129
	US 2005038247	A1	20050217	US 2004-901928	20040729
	US 2005256136	A1	20051117	US 2004-986569	20041111
PRAI	US 2003-443917P	P	20030131		
	US 2004-767638	A2	20040129		
	WO 2004-US2541	A	20040129		
	US 2004-901928	A2	20040729		
	US 2004-971573	A2	20041021		
	WO 2004-US34919	A2	20041021		

OS MARPAT 142:6535
GI



I

AB The present invention relates to compds. I [W = N, CH, CF; X = CH, CF; Z = O, NH; R1 = (un)substituted Ph, 5-6 membered heteroaryl having 1-3 heteroatoms selected from O, N or S; R2 = H, alkyl; ring A = (un)substituted 5-6 membered heteroaryl having 1-4 heteroatoms selected from N, O or S] which inhibit bacterial gyrase and/or Topo IV and pharmaceutically acceptable compns. comprising said compds. E.g., a multi-step synthesis of 1-ethyl-3-[7-(pyridin-2-yl)-5-(pyridin-3-yl)-1H-benzimidazol-2-yl]urea, was given. The compds. I were found to inhibit gyrase and TopoIV with a Ki values of < 50 nM. The compds. I, and compns. thereof, are useful in treating bacterial infection. Accordingly, the present invention also relates to methods for treating bacterial infections in mammals.